

A20 2-Chloro-3-fluoro-7-methoxyquinoline (210mg, 1mmol), (prepared as described for the starting material in Example 157), in anhydrous THF (1ml) was added to a mixture of copper(I)bromide (570mg, 4.0mmol) and methylmagnesium bromide (3.0M solution in diethyl ether, 2.7ml, 8mmol) in anhydrous THF (20ml) at -78°C. The mixture was stirred for 1 hour at -78°C, allowed to warm to ambient temperature and then stirred for a further 18 hours. Saturated aqueous ammonium chloride solution and 5N aqueous sodium hydroxide solution (pH 12) were added and the product extracted with ethyl acetate (3x). The organic solution was washed with water, brine, dried ( $\text{MgSO}_4$ ) and evaporated to dryness to yield 3-fluoro-7-methoxy-2-methylquinoline (0.17g, 91%).

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Please replace the table in Example 192 at page 218, extending from line 1 to line 2, with the following new table:

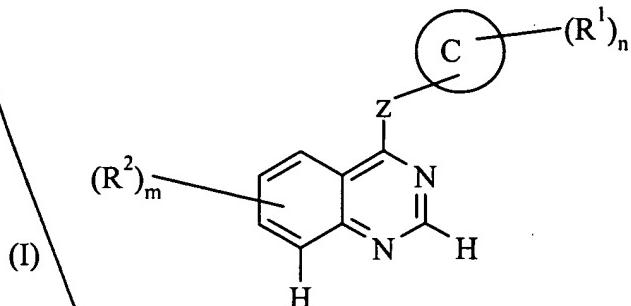
A21

Elemental analysis:	Found	C 66.4	H 6.9	N 12.4
$\text{C}_{25}\text{H}_{30}\text{N}_4\text{O}_4$	Requires	C 66.7	H 6.7	N 12.4%

**IN THE CLAIMS:**

Please cancel original claims 1-30, without prejudice, and add the following new claims 31-60:

A22  
31. (New) A method for producing an antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal in need thereof, said method comprising administering to said animal an effective amount of a compound of the formula I:



wherein:

ring C is an 8, 9, 10, 12 or 13-membered bicyclic or tricyclic moiety which moiety may be saturated or unsaturated, which may be aromatic or non-aromatic, and which optionally may contain 1-3 heteroatoms selected independently from O, N and S;

Z is -O-, -NH-, -S- or -CH<sub>2</sub>-;

n is an integer from 0 to 5;

m is an integer from 0 to 3;

*A22*  
R<sup>2</sup> represents hydrogen, hydroxy, halogeno, cyano, nitro, trifluoromethyl, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxy, C<sub>1-3</sub>alkylsulphonyl, -NR<sup>3</sup>R<sup>4</sup> (wherein R<sup>3</sup> and R<sup>4</sup>, which may be the same or different, each represents hydrogen or C<sub>1-3</sub>alkyl),

or R<sup>2</sup> represents a group R<sup>5</sup>X<sup>1</sup>-, wherein X<sup>1</sup> represents a direct bond, -O-, -CH<sub>2</sub>-, -OC(O)-, -C(O)-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>6</sup>C(O)-, -C(O)NR<sup>7</sup>-, -SO<sub>2</sub>NR<sup>8</sup>-, -NR<sup>9</sup>SO<sub>2</sub>- or -NR<sup>10</sup>- (wherein R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and R<sup>5</sup> is selected from one of the following twenty-two groups:

- 1) hydrogen, oxiranylC<sub>1-4</sub>alkyl or C<sub>1-5</sub>alkyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, chloro, bromo and amino;
- 2) C<sub>1-5</sub>alkylX<sup>2</sup>C(O)R<sup>11</sup> (wherein X<sup>2</sup> represents -O- or -NR<sup>12</sup>- (in which R<sup>12</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>11</sup> represents C<sub>1-3</sub>alkyl, -NR<sup>13</sup>R<sup>14</sup> or -OR<sup>15</sup> (wherein R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> which may be the same or different each represents hydrogen, C<sub>1-5</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

- 3)  $C_{1-5}\text{alkyl}X^3R^{16}$  (wherein  $X^3$  represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -OC(O)-, -NR<sup>17</sup>C(O)-, -C(O)NR<sup>18</sup>-, -SO<sub>2</sub>NR<sup>19</sup>-, -NR<sup>20</sup>SO<sub>2</sub>- or -NR<sup>21</sup>- (wherein R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup> and R<sup>21</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>16</sup> represents hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl or a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group  $\text{-(}-\text{O}-\text{)}_f(\text{C}_{1-4}\text{alkyl})_g\text{ringD}$  (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));
- 4)  $C_{1-5}\text{alkyl}X^4C_{1-5}\text{alkyl}X^5R^{22}$  (wherein X<sup>4</sup> and X<sup>5</sup> which may be the same or different are each -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>23</sup>C(O)-, -C(O)NR<sup>24</sup>-, -SO<sub>2</sub>NR<sup>25</sup>-, -NR<sup>26</sup>SO<sub>2</sub>- or -NR<sup>27</sup>- (wherein R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup> and R<sup>27</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>22</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);
- 5) R<sup>28</sup> (wherein R<sup>28</sup> is a 4-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group  $\text{-(}-\text{O}-\text{)}_f(\text{C}_{1-4}\text{alkyl})_g\text{ringD}$  (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));
- 6)  $C_{1-5}\text{alkyl}R^{28}$  (wherein R<sup>28</sup> is as defined herein);

- AZ2
- 7)  $C_{2-5}\text{alkenyl}R^{28}$  (wherein  $R^{28}$  is as defined herein);
  - 8)  $C_{2-5}\text{alkynyl}R^{28}$  (wherein  $R^{28}$  is as defined herein);
  - 9)  $R^{29}$  (wherein  $R^{29}$  represents a pyridone group, a phenyl group or a 5-6-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-3 heteroatoms selected from O, N and S, which pyridone, phenyl or aromatic heterocyclic group may carry up to 5 substituents selected from hydroxy, halogeno, amino,  $C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{alkoxy}$ ,  $C_{1-4}\text{hydroxyalkyl}$ ,  $C_{1-4}\text{aminoalkyl}$ ,  $C_{1-4}\text{alkylamino}$ ,  $C_{1-4}\text{hydroxyalkoxy}$ , carboxy, trifluoromethyl, cyano,  $-\text{C}(\text{O})\text{NR}^{30}R^{31}$ ,  $-\text{NR}^{32}\text{C}(\text{O})R^{33}$  (wherein  $R^{30}$ ,  $R^{31}$ ,  $R^{32}$  and  $R^{33}$ , which may be the same or different, each represents hydrogen,  $C_{1-4}\text{alkyl}$  or  $C_{1-3}\text{alkoxy}C_{2-3}\text{alkyl}$ ) and a group  $-(\text{O})_f(C_{1-4}\text{alkyl})_g\text{ringD}$  (wherein  $f$  is 0 or 1,  $g$  is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from  $C_{1-4}\text{alkyl}$ ));
  - 10)  $C_{1-5}\text{alkyl}R^{29}$  (wherein  $R^{29}$  is as defined herein);
  - 11)  $C_{2-5}\text{alkenyl}R^{29}$  (wherein  $R^{29}$  is as defined herein);
  - 12)  $C_{2-5}\text{alkynyl}R^{29}$  (wherein  $R^{29}$  is as defined herein);
  - 13)  $C_{1-5}\text{alkyl}X^6R^{29}$  (wherein  $X^6$  represents  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{SO}-$ ,  $-\text{SO}_2-$ ,  $-\text{NR}^{34}\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{NR}^{35}-$ ,  $-\text{SO}_2\text{NR}^{36}-$ ,  $-\text{NR}^{37}\text{SO}_2-$  or  $-\text{NR}^{38}-$  (wherein  $R^{34}$ ,  $R^{35}$ ,  $R^{36}$ ,  $R^{37}$  and  $R^{38}$  each independently represents hydrogen,  $C_{1-3}\text{alkyl}$  or  $C_{1-3}\text{alkoxy}C_{2-3}\text{alkyl}$ ) and  $R^{29}$  is as defined herein);
  - 14)  $C_{2-5}\text{alkenyl}X^7R^{29}$  (wherein  $X^7$  represents  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{SO}-$ ,  $-\text{SO}_2-$ ,  $-\text{NR}^{39}\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{NR}^{40}-$ ,  $-\text{SO}_2\text{NR}^{41}-$ ,  $-\text{NR}^{42}\text{SO}_2-$  or  $-\text{NR}^{43}-$  (wherein  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$ ,  $R^{42}$  and  $R^{43}$  each independently represents hydrogen,  $C_{1-3}\text{alkyl}$  or  $C_{1-3}\text{alkoxy}C_{2-3}\text{alkyl}$ ) and  $R^{29}$  is as defined herein);
  - 15)  $C_{2-5}\text{alkynyl}X^8R^{29}$  (wherein  $X^8$  represents  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{SO}-$ ,  $-\text{SO}_2-$ ,  $-\text{NR}^{44}\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{NR}^{45}-$ ,  $-\text{SO}_2\text{NR}^{46}-$ ,  $-\text{NR}^{47}\text{SO}_2-$  or  $-\text{NR}^{48}-$  (wherein  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$ ,  $R^{47}$  and  $R^{48}$  each independently represents hydrogen,  $C_{1-3}\text{alkyl}$  or  $C_{1-3}\text{alkoxy}C_{2-3}\text{alkyl}$ ) and  $R^{29}$  is as defined herein);
  - 16)  $C_{1-4}\text{alkyl}X^9C_{1-4}\text{alkyl}R^{29}$  (wherein  $X^9$  represents  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{SO}-$ ,  $-\text{SO}_2-$ ,  $-\text{NR}^{49}\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{NR}^{50}-$ ,  $-\text{SO}_2\text{NR}^{51}-$ ,  $-\text{NR}^{52}\text{SO}_2-$  or  $-\text{NR}^{53}-$  (wherein  $R^{49}$ ,  $R^{50}$ ,  $R^{51}$ ,  $R^{52}$  and  $R^{53}$  each

independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined herein);

- 17) C<sub>1-4</sub>alkylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein);
- 18) C<sub>2-5</sub>alkenyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 19) C<sub>2-5</sub>alkynyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 20) C<sub>2-5</sub>alkenylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein);
- 21) C<sub>2-5</sub>alkynylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein); and
- 22) C<sub>1-4</sub>alkylR<sup>54</sup>(C<sub>1-4</sub>alkyl)<sub>q</sub>(X<sup>9</sup>)<sub>r</sub>R<sup>55</sup> (wherein X<sup>9</sup> is as defined herein, q is 0 or 1, r is 0 or 1, and R<sup>54</sup> and R<sup>55</sup> are each independently selected from hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl and a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanooalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl), with the proviso that R<sup>54</sup> cannot be hydrogen);

and additionally wherein any C<sub>1-5</sub>alkyl, C<sub>2-5</sub>alkenyl or C<sub>2-5</sub>alkynyl group in R<sup>5</sup>X<sup>1</sup>- may bear one or more substituents selected from hydroxy, halogeno and amino;

R<sup>1</sup> represents hydrogen, oxo, halogeno, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxymethyl, C<sub>1-4</sub>alkanoyl, C<sub>1-4</sub>haloalkyl, cyano, amino, C<sub>2-5</sub>alkenyl, C<sub>2-5</sub>alkynyl, C<sub>1-3</sub>alkanoyloxy, nitro, C<sub>1-4</sub>alkanoylamino, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>alkylsulphonyl, C<sub>1-4</sub>alkylsulphinyll,

C<sub>1-4</sub>alkylsulphonyl, carbamoyl, N-C<sub>1-4</sub>alkylcarbamoyl, N,N-di(C<sub>1-4</sub>alkyl)carbamoyl, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl, N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl, N-(C<sub>1-4</sub>alkylsulphonyl)amino, N-(C<sub>1-4</sub>alkylsulphonyl)-N-(C<sub>1-4</sub>alkyl)amino, N,N-di(C<sub>1-4</sub>alkylsulphonyl)amino, a C<sub>3-7</sub>alkylene chain joined to two ring C carbon atoms, C<sub>1-4</sub>alkanoylaminoC<sub>1-4</sub>alkyl, carboxy

or R<sup>1</sup> represents a group R<sup>56</sup>X<sup>10</sup>, wherein X<sup>10</sup> represents a direct bond, -O-, -CH<sub>2</sub>-, -OC(O)-, -C(O)-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>57</sup>C(O)-, -C(O)NR<sup>58</sup>-, -SO<sub>2</sub>NR<sup>59</sup>-, -NR<sup>60</sup>SO<sub>2</sub>- or -NR<sup>61</sup>- (wherein R<sup>57</sup>, R<sup>58</sup>, R<sup>59</sup>, R<sup>60</sup> and R<sup>61</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and R<sup>56</sup> is selected from one of the following twenty-two groups:

- 1) hydrogen, oxiranylc<sub>1-4</sub>alkyl or C<sub>1-5</sub>alkyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, chloro, bromo and amino;
- 2) C<sub>1-5</sub>alkylX<sup>11</sup>C(O)R<sup>62</sup> (wherein X<sup>11</sup> represents -O- or -NR<sup>63</sup>- (in which R<sup>63</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>62</sup> represents C<sub>1-3</sub>alkyl, -NR<sup>64</sup>R<sup>65</sup> or -OR<sup>66</sup> (wherein R<sup>64</sup>, R<sup>65</sup> and R<sup>66</sup> which may be the same or different each represents hydrogen, C<sub>1-5</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));
- 3) C<sub>1-5</sub>alkylX<sup>12</sup>R<sup>67</sup> (wherein X<sup>12</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -OC(O)-, -NR<sup>68</sup>C(O)-, -C(O)NR<sup>69</sup>-, -SO<sub>2</sub>NR<sup>70</sup>-, -NR<sup>71</sup>SO<sub>2</sub>- or -NR<sup>72</sup>- (wherein R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> and R<sup>72</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>67</sup> represents hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl or a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylc<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently

from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));

- 4) C<sub>1-5</sub>alkylX<sup>13</sup>C<sub>1-5</sub>alkylX<sup>14</sup>R<sup>73</sup> (wherein X<sup>13</sup> and X<sup>14</sup> which may be the same or different are each -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>74</sup>C(O)-, -C(O)NR<sup>75</sup>-, -SO<sub>2</sub>NR<sup>76</sup>-, -NR<sup>77</sup>SO<sub>2</sub>- or -NR<sup>78</sup>- (wherein R<sup>74</sup>, R<sup>75</sup>, R<sup>76</sup>, R<sup>77</sup> and R<sup>78</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>73</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);
- 5) R<sup>79</sup> (wherein R<sup>79</sup> is a 4-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));
- 6) C<sub>1-5</sub>alkylR<sup>79</sup> (wherein R<sup>79</sup> is as defined herein);
- 7) C<sub>2-5</sub>alkenylR<sup>79</sup> (wherein R<sup>79</sup> is as defined herein);
- 8) C<sub>2-5</sub>alkynylR<sup>79</sup> (wherein R<sup>79</sup> is as defined herein);
- 9) R<sup>80</sup> (wherein R<sup>80</sup> represents a pyridone group, a phenyl group or a 5-6-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-3 heteroatoms selected from O, N and S, which pyridone, phenyl or aromatic heterocyclic group may carry up to 5 substituents selected from hydroxy, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, trifluoromethyl, cyano, -C(O)NR<sup>81</sup>R<sup>82</sup>, -NR<sup>83</sup>C(O)R<sup>84</sup> (wherein R<sup>81</sup>, R<sup>82</sup>, R<sup>83</sup> and R<sup>84</sup>, which may be the same or different, each represents hydrogen, C<sub>1-4</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms,

selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl);

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- 10) C<sub>1-5</sub>alkylR<sup>80</sup> (wherein R<sup>80</sup> is as defined herein);
  - 11) C<sub>2-5</sub>alkenylR<sup>80</sup> (wherein R<sup>80</sup> is as defined herein);
  - 12) C<sub>2-5</sub>alkynylR<sup>80</sup> (wherein R<sup>80</sup> is as defined herein);
  - 13) C<sub>1-5</sub>alkylX<sup>15</sup>R<sup>80</sup> (wherein X<sup>15</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>85</sup>C(O)-, -C(O)NR<sup>86</sup>-, -SO<sub>2</sub>NR<sup>87</sup>-, -NR<sup>88</sup>SO<sub>2</sub>- or -NR<sup>89</sup>- (wherein R<sup>85</sup>, R<sup>86</sup>, R<sup>87</sup>, R<sup>88</sup> and R<sup>89</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
  - 14) C<sub>2-5</sub>alkenylX<sup>16</sup>R<sup>80</sup> (wherein X<sup>16</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>90</sup>C(O)-, -C(O)NR<sup>91</sup>-, -SO<sub>2</sub>NR<sup>92</sup>-, -NR<sup>93</sup>SO<sub>2</sub>- or -NR<sup>94</sup>- (wherein R<sup>90</sup>, R<sup>91</sup>, R<sup>92</sup>, R<sup>93</sup> and R<sup>94</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
  - 15) C<sub>2-5</sub>alkynylX<sup>17</sup>R<sup>80</sup> (wherein X<sup>17</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>95</sup>C(O)-, -C(O)NR<sup>96</sup>-, -SO<sub>2</sub>NR<sup>97</sup>-, -NR<sup>98</sup>SO<sub>2</sub>- or -NR<sup>99</sup>- (wherein R<sup>95</sup>, R<sup>96</sup>, R<sup>97</sup>, R<sup>98</sup> and R<sup>99</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
  - 16) C<sub>1-4</sub>alkylX<sup>18</sup>C<sub>1-4</sub>alkylR<sup>80</sup> (wherein X<sup>18</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>100</sup>C(O)-, -C(O)NR<sup>101</sup>-, -SO<sub>2</sub>NR<sup>102</sup>-, -NR<sup>103</sup>SO<sub>2</sub>- or -NR<sup>104</sup>- (wherein R<sup>100</sup>, R<sup>101</sup>, R<sup>102</sup>, R<sup>103</sup> and R<sup>104</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
  - 17) C<sub>1-4</sub>alkylX<sup>18</sup>C<sub>1-4</sub>alkylR<sup>79</sup> (wherein X<sup>18</sup> and R<sup>79</sup> are as defined herein);
  - 18) C<sub>2-5</sub>alkenyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
  - 19) C<sub>2-5</sub>alkynyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
  - 20) C<sub>2-5</sub>alkenylX<sup>18</sup>C<sub>1-4</sub>alkylR<sup>79</sup> (wherein X<sup>18</sup> and R<sup>79</sup> are as defined herein);
  - 21) C<sub>2-5</sub>alkynylX<sup>18</sup>C<sub>1-4</sub>alkylR<sup>79</sup> (wherein X<sup>18</sup> and R<sup>79</sup> are as defined herein); and

22)  $C_{1-4}\text{alkyl}R^{105}(C_{1-4}\text{alkyl})_x(X^{18})_yR^{106}$  (wherein  $X^{18}$  is as defined herein,  $x$  is 0 or 1,  $y$  is 0 or 1, and  $R^{105}$  and  $R^{106}$  are each independently selected from hydrogen,  $C_{1-3}\text{alkyl}$ , cyclopentyl, cyclohexyl and a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which  $C_{1-3}\text{alkyl}$  group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and  $C_{1-4}\text{alkoxy}$  and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano,  $C_{1-4}\text{cyanoalkyl}$ ,  $C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{hydroxyalkyl}$ ,  $C_{1-4}\text{alkoxy}$ ,  $C_{1-4}\text{alkoxy}C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{alkylsulphonyl}C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{alkoxycarbonyl}$ ,  $C_{1-4}\text{aminoalkyl}$ ,  $C_{1-4}\text{alkylamino}$ ,  $\text{di}(C_{1-4}\text{alkyl})\text{amino}$ ,  $C_{1-4}\text{alkylamino}C_{1-4}\text{alkyl}$ ,  $\text{di}(C_{1-4}\text{alkyl})\text{amino}C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{alkylamino}C_{1-4}\text{alkoxy}$ ,  $\text{di}(C_{1-4}\text{alkyl})\text{amino}C_{1-4}\text{alkoxy}$  and a group  $\text{(-O-)}_f(C_{1-4}\text{alkyl})_g\text{ringD}$  (wherein  $f$  is 0 or 1,  $g$  is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from  $C_{1-4}\text{alkyl}$ ) with the proviso that  $R^{105}$  cannot be hydrogen);  
and additionally wherein any  $C_{1-5}\text{alkyl}$ ,  $C_{2-5}\text{alkenyl}$  or  $C_{2-5}\text{salkynyl}$  group in  $R^{56}X^{10}-$  may bear one or more substituents selected from hydroxy, halogeno and amino; or a salt or prodrug thereof.

32. (New) The method according to claim 31 wherein:

ring C is a 9-10-membered bicyclic moiety which may be saturated or unsaturated, which may be aromatic or non-aromatic, and which optionally may contain 1-3 heteroatoms selected independently from O, N and S;

Z is -O-, -NH-, -S- or -CH<sub>2</sub>-;

$R^1$  represents hydrogen, oxo, halogeno, hydroxy,  $C_{1-4}\text{alkoxy}$ ,  $C_{1-4}\text{alkyl}$ ,  $C_{1-4}\text{alkoxymethyl}$ ,  $C_{1-4}\text{alkanoyl}$ ,  $C_{1-4}\text{haloalkyl}$ , cyano, amino,  $C_{2-5}\text{alkenyl}$ ,  $C_{2-5}\text{salkynyl}$ ,  $C_{1-3}\text{alkanoyloxy}$ , nitro,  $C_{1-4}\text{alkanoylamino}$ ,  $C_{1-4}\text{alkoxycarbonyl}$ ,  $C_{1-4}\text{alkylsulphonyl}$ ,  $C_{1-4}\text{alkylsulphinyll}$ ,  $C_{1-4}\text{alkylsulphonyl}$ , carbamoyl, N- $C_{1-4}\text{alkylcarbamoyl}$ , N,N-di( $C_{1-4}\text{alkyl}$ )carbamoyl, aminosulphonyl, N- $C_{1-4}\text{alkylaminosulphonyl}$ , N,N-di( $C_{1-4}\text{alkyl}$ )aminosulphonyl, N-( $C_{1-4}\text{alkylsulphonyl}$ )amino, N-( $C_{1-4}\text{alkylsulphonyl}$ )-N-( $C_{1-4}\text{alkyl}$ )amino,

N,N-di(C<sub>1-4</sub>alkylsulphonyl)amino or a C<sub>3-7</sub>alkylene chain joined to two ring C carbon atoms;

n is an integer from 0 to 5;

m is an integer from 0 to 3;

R<sup>2</sup> represents hydrogen, hydroxy, halogeno, cyano, nitro, trifluoromethyl, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxy, C<sub>1-3</sub>alkylsulphanyl, -NR<sup>3</sup>R<sup>4</sup> (wherein R<sup>3</sup> and R<sup>4</sup>, which may be the same or different, each represents hydrogen or C<sub>1-3</sub>alkyl),

or R<sup>2</sup> represents a group R<sup>5</sup>X<sup>1</sup>-, wherein X<sup>1</sup> represents a direct bond, -O-, -CH<sub>2</sub>-, -OC(O)-, -C(O)-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>6</sup>C(O)-, -C(O)NR<sup>7</sup>-, -SO<sub>2</sub>NR<sup>8</sup>-, -NR<sup>9</sup>SO<sub>2</sub>- or -NR<sup>10</sup>- (wherein R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and R<sup>5</sup> is selected from one of the following twenty-one groups:

1) hydrogen or C<sub>1-5</sub>alkyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro and amino;

2) C<sub>1-5</sub>alkylX<sup>2</sup>C(O)R<sup>11</sup> (wherein X<sup>2</sup> represents -O- or -NR<sup>12</sup>- (in which R<sup>12</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>11</sup> represents C<sub>1-3</sub>alkyl, -NR<sup>13</sup>R<sup>14</sup> or -OR<sup>15</sup> (wherein R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> which may be the same or different each represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

3) C<sub>1-5</sub>alkylX<sup>3</sup>R<sup>16</sup> (wherein X<sup>3</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -OC(O)-, -NR<sup>17</sup>C(O)-, -C(O)NR<sup>18</sup>-, -SO<sub>2</sub>NR<sup>19</sup>-, -NR<sup>20</sup>SO<sub>2</sub>- or -NR<sup>21</sup>- (wherein R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup> and R<sup>21</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>16</sup> represents hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl or a 5-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl and C<sub>1-4</sub>alkoxy);

4) C<sub>1-5</sub>alkylX<sup>4</sup>C<sub>1-5</sub>alkylX<sup>5</sup>R<sup>22</sup> (wherein X<sup>4</sup> and X<sup>5</sup> which may be the same or different are each -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>23</sup>C(O)-, -C(O)NR<sup>24</sup>-, -SO<sub>2</sub>NR<sup>25</sup>-, -NR<sup>26</sup>SO<sub>2</sub>- or -NR<sup>27</sup>- (wherein R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup> and R<sup>27</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>22</sup> represents hydrogen or C<sub>1-3</sub>alkyl);

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- 5)  $R^{28}$  (wherein  $R^{28}$  is a 5-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano,  $C_{1-4}$ cyanooalkyl,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ alkoxy $C_{1-4}$ alkyl and  $C_{1-4}$ alkylsulphonyl $C_{1-4}$ alkyl);
  - 6)  $C_{1-5}$ alkyl $R^{28}$  (wherein  $R^{28}$  is as defined herein);
  - 7)  $C_{2-5}$ alkenyl $R^{28}$  (wherein  $R^{28}$  is as defined herein);
  - 8)  $C_{2-5}$ alkynyl $R^{28}$  (wherein  $R^{28}$  is as defined herein);
  - 9)  $R^{29}$  (wherein  $R^{29}$  represents a pyridone group, a phenyl group or a 5-6-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-3 heteroatoms selected from O, N and S, which pyridone, phenyl or aromatic heterocyclic group may carry up to 5 substituents on an available carbon atom selected from hydroxy, halogeno, amino,  $C_{1-4}$ alkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ aminoalkyl,  $C_{1-4}$ alkylamino,  $C_{1-4}$ hydroxyalkoxy, carboxy, trifluoromethyl, cyano,  $-C(O)NR^{30}R^{31}$  and  $-NR^{32}C(O)R^{33}$  (wherein  $R^{30}$ ,  $R^{31}$ ,  $R^{32}$  and  $R^{33}$ , which may be the same or different, each represents hydrogen,  $C_{1-4}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl));
  - 10)  $C_{1-5}$ alkyl $R^{29}$  (wherein  $R^{29}$  is as defined herein);
  - 11)  $C_{2-5}$ alkenyl $R^{29}$  (wherein  $R^{29}$  is as defined herein);
  - 12)  $C_{2-5}$ alkynyl $R^{29}$  (wherein  $R^{29}$  is as defined herein);
  - 13)  $C_{1-5}$ alkyl $X^6R^{29}$  (wherein  $X^6$  represents  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $-SO_2-$ ,  $-NR^{34}C(O)-$ ,  $-C(O)NR^{35}-$ ,  $-SO_2NR^{36}-$ ,  $-NR^{37}SO_2-$  or  $-NR^{38}-$  (wherein  $R^{34}$ ,  $R^{35}$ ,  $R^{36}$ ,  $R^{37}$  and  $R^{38}$  each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  $R^{29}$  is as defined herein);
  - 14)  $C_{2-5}$ alkenyl $X^7R^{29}$  (wherein  $X^7$  represents  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $-SO_2-$ ,  $-NR^{39}C(O)-$ ,  $-C(O)NR^{40}-$ ,  $-SO_2NR^{41}-$ ,  $-NR^{42}SO_2-$  or  $-NR^{43}-$  (wherein  $R^{39}$ ,  $R^{40}$ ,  $R^{41}$ ,  $R^{42}$  and  $R^{43}$  each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and  $R^{29}$  is as defined herein);
  - 15)  $C_{2-5}$ alkynyl $X^8R^{29}$  (wherein  $X^8$  represents  $-O-$ ,  $-S-$ ,  $-SO-$ ,  $-SO_2-$ ,  $-NR^{44}C(O)-$ ,  $-C(O)NR^{45}-$ ,  $-SO_2NR^{46}-$ ,  $-NR^{47}SO_2-$  or  $-NR^{48}-$  (wherein  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$ ,  $R^{47}$  and  $R^{48}$  each

independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined herein);

- 16) C<sub>1-3</sub>alkylX<sup>9</sup>C<sub>1-3</sub>alkylR<sup>29</sup> (wherein X<sup>9</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>49</sup>C(O)-, -C(O)NR<sup>50</sup>-, -SO<sub>2</sub>NR<sup>51</sup>-, -NR<sup>52</sup>SO<sub>2</sub>- or -NR<sup>53</sup>- (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup>, R<sup>52</sup> and R<sup>53</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined herein);
- 17) C<sub>1-3</sub>alkylX<sup>9</sup>C<sub>1-3</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein);
- 18) C<sub>2-5</sub>alkenyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 19) C<sub>2-5</sub>alkynyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 20) C<sub>2-5</sub>alkenylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein); and
- 21) C<sub>2-5</sub>alkynylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein);

or a salt or prodrug thereof.

33. (New) The method according to claim 31, wherein R<sup>2</sup> represents hydroxy, halogeno, cyano, nitro, trifluoromethyl, C<sub>1-3</sub>alkyl, amino or R<sup>5</sup>X<sup>1</sup>-, wherein X<sup>1</sup> is as defined in claim 31 and R<sup>5</sup> is selected from one of the following twenty-two groups:

- 1) C<sub>1-4</sub>alkyl which may be unsubstituted or which may be substituted with one or more groups selected from fluoro, chloro and bromo, or C<sub>2-5</sub>alkyl which may be unsubstituted or substituted with one or more groups selected from hydroxy and amino;
- 2) C<sub>2-3</sub>alkylX<sup>2</sup>C(O)R<sup>11</sup> (wherein X<sup>2</sup> is as defined in claim 31 and R<sup>11</sup> represents -NR<sup>13</sup>R<sup>14</sup> or -OR<sup>15</sup> (wherein R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> which may be the same or different are each C<sub>1-4</sub>alkyl or C<sub>1-2</sub>alkoxyethyl));
- 3) C<sub>2-4</sub>alkylX<sup>3</sup>R<sup>16</sup> (wherein X<sup>3</sup> is as defined in claim 31 and R<sup>16</sup> is a group selected from C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl and tetrahydropyranyl, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-2</sub>alkoxy and which

cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl or tetrahydropyranyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-3</sub>cyanoalkyl, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>hydroxyalkyl, C<sub>1-3</sub>alkoxy, C<sub>1-2</sub>alkoxyC<sub>1-3</sub>alkyl, C<sub>1-2</sub>alkylsulphonylC<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxycarbonyl, C<sub>1-3</sub>alkylamino, di(C<sub>1-3</sub>alkyl)amino, C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkyl, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkoxy, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkoxy and a group -(O)<sub>f</sub>(C<sub>1-3</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl, morpholino and thiomorpholino, which cyclic group may bear one or more substituents selected from C<sub>1-3</sub>alkyl));

- 4) C<sub>2-3</sub>alkylX<sup>4</sup>C<sub>2-3</sub>alkylX<sup>5</sup>R<sup>22</sup> (wherein X<sup>4</sup> and X<sup>5</sup> are as defined in claim 31 and R<sup>22</sup> represents hydrogen or C<sub>1-3</sub>alkyl);
- 5) R<sup>28</sup> (wherein R<sup>28</sup> is as defined in claim 31);
- 6) C<sub>1-4</sub>alkylR<sup>110</sup> (wherein R<sup>110</sup> is a group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidin-1-yl, azetidinyl, 1,3-dioxolan-2-yl, 1,3-dioxan-2-yl, 1,3-dithiolan-2-yl and 1,3-dithian-2-yl, which group is linked to C<sub>1-4</sub>alkyl through a carbon atom and which group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-3</sub>cyanoalkyl, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>hydroxyalkyl, C<sub>1-3</sub>alkoxy, C<sub>1-2</sub>alkoxyC<sub>1-3</sub>alkyl, C<sub>1-2</sub>alkylsulphonylC<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxycarbonyl, C<sub>1-3</sub>alkylamino, di(C<sub>1-3</sub>alkyl)amino, C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkyl, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkoxy, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkoxy and a group -(O)<sub>f</sub>(C<sub>1-3</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl, morpholino and thiomorpholino, which cyclic group may bear one or more substituents selected from C<sub>1-3</sub>alkyl)) or C<sub>2-4</sub>alkylR<sup>111</sup> (wherein R<sup>111</sup> is a group selected from morpholino, thiomorpholino, azetidin-1-yl, pyrrolidin-1-yl, piperazin-1-yl and piperidino which group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-3</sub>cyanoalkyl, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>hydroxyalkyl, C<sub>1-3</sub>alkoxy, C<sub>1-2</sub>alkoxyC<sub>1-3</sub>alkyl, C<sub>1-2</sub>alkylsulphonylC<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxycarbonyl, C<sub>1-3</sub>alkylamino, di(C<sub>1-3</sub>alkyl)amino, C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkyl, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkyl,

~~C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkoxy, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkoxy and a group  
-(O-)(C<sub>1-3</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a heterocyclic  
group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl,  
morpholino and thiomorpholino, which cyclic group may bear one or more substituents  
selected from C<sub>1-3</sub>alkyl));~~

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- 7) C<sub>3-4</sub>alkenylR<sup>112</sup> (wherein R<sup>112</sup> represents R<sup>110</sup> or R<sup>111</sup> as defined herein);
  - 8) C<sub>3-4</sub>alkynylR<sup>112</sup> (wherein R<sup>112</sup> represents R<sup>110</sup> or R<sup>111</sup> as defined herein);
  - 9) R<sup>29</sup> (wherein R<sup>29</sup> is as defined in claim 31);
  - 10) C<sub>1-4</sub>alkylR<sup>29</sup> (wherein R<sup>29</sup> is as defined in claim 31);
  - 11) 1-R<sup>29</sup>prop-1-en-3-yl or 1-R<sup>29</sup>but-2-en-4-yl (wherein R<sup>29</sup> is as defined in claim 31 with  
the proviso that when R<sup>5</sup> is 1-R<sup>29</sup>prop-1-en-3-yl, R<sup>29</sup> is linked to the alkenyl group via  
a carbon atom);
  - 12) 1-R<sup>29</sup>prop-1-yn-3-yl or 1-R<sup>29</sup>but-2-yn-4-yl (wherein R<sup>29</sup> is as defined in claim 31 with  
the proviso that when R<sup>5</sup> is 1-R<sup>29</sup>prop-1-yn-3-yl, R<sup>29</sup> is linked to the alkynyl group via  
a carbon atom);
  - 13) C<sub>1-5</sub>alkylX<sup>6</sup>R<sup>29</sup> (wherein X<sup>6</sup> and R<sup>29</sup> are as defined in claim 31);
  - 14) 1-(R<sup>29</sup>X<sup>7</sup>)but-2-en-4-yl (wherein X<sup>7</sup> and R<sup>29</sup> are as defined in claim 31);
  - 15) 1-(R<sup>29</sup>X<sup>8</sup>)but-2-yn-4-yl (wherein X<sup>8</sup> and R<sup>29</sup> are as defined in claim 31);
  - 16) C<sub>2-3</sub>alkylX<sup>9</sup>C<sub>1-3</sub>alkylR<sup>29</sup> (wherein X<sup>9</sup> and R<sup>29</sup> are as defined in claim 31);
  - 17) C<sub>2-3</sub>alkylX<sup>9</sup>C<sub>1-3</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined in claim 31);
  - 18) C<sub>2-5</sub>alkenyl which may be unsubstituted or which may be substituted with one or more  
fluorine atoms or with one or two groups selected from hydroxy, fluoro, amino,  
C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl  
and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
  - 19) C<sub>2-5</sub>alkynyl which may be unsubstituted or which may be substituted with one or more  
fluorine atoms or with one or two groups selected from hydroxy, fluoro, amino,  
C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl  
and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
  - 20) C<sub>2-4</sub>alkenylX<sup>9</sup>C<sub>1-3</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined in claim 31);
  - 21) C<sub>2-4</sub>alkynylX<sup>9</sup>C<sub>1-3</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined in claim 31); and

22)  $C_{1-3}\text{alkyl}R^{54}(C_{1-3}\text{alkyl})_q(X^9)_rR^{55}$  (wherein  $X^9$ ,  $q$ ,  $r$ ,  $R^{54}$  and  $R^{55}$  are as defined in claim 31);

and additionally wherein any  $C_{1-5}\text{alkyl}$ ,  $C_{2-5}\text{alkenyl}$  or  $C_{2-5}\text{alkynyl}$  group in  $R^5X^1-$  may bear one or more substituents selected from hydroxy, halogeno and amino.

*A22*  
34. (New) The method according claim 31 wherein Z is -O-, -NH- or -S-.

35. (New) The method according to claim 31 wherein ring C is a 9-10-membered heteroaromatic bicyclic moiety which contains 1-3 heteroatoms selected independently from O, N and S.

36. (New) The method according to claim 31 wherein  $R^1$  represents oxo, halogeno, hydroxy,  $C_{1-2}\text{alkoxy}$ ,  $C_{1-2}\text{alkyl}$ ,  $C_{1-2}\text{alkoxymethyl}$ ,  $C_{2-3}\text{alkanoyl}$ ,  $C_{1-2}\text{haloalkyl}$ , cyano, amino,  $C_{2-4}\text{alkenyl}$ ,  $C_{2-4}\text{alkynyl}$ ,  $C_{2-3}\text{alkanoyloxy}$ , nitro,  $C_{2-3}\text{alkanoylamino}$ ,  $C_{1-2}\text{alkoxycarbonyl}$ ,  $C_{1-2}\text{alkylsulphonyl}$ ,  $C_{1-2}\text{alkylsulphinyl}$ ,  $C_{1-2}\text{alkylsulphonyl}$ , carbamoyl,  $N-C_{1-2}\text{alkylcarbamoyl}$ ,  $N,N\text{-di}(C_{1-2}\text{alkyl})\text{carbamoyl}$ , aminosulphonyl,  $N-C_{1-2}\text{alkylaminosulphonyl}$ ,  $N,N\text{-di}(C_{1-2}\text{alkyl})\text{aminosulphonyl}$ ,  $N-(C_{1-2}\text{alkylsulphonyl})\text{amino}$ ,  $N-(C_{1-2}\text{alkylsulphonyl})\text{-N-(C}_{1-2}\text{alkyl)}\text{amino}$  or a  $C_{3-7}\text{alkylene}$  chain joined to two ring C carbon atoms.

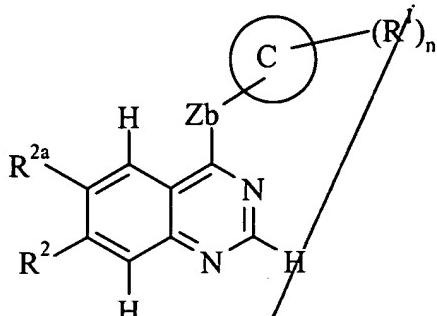
37. (New) The method according to claim 31 wherein n is 0, 1 or 2.

38. (New) The method according to claim 31 wherein m is 1 or 2.

*B2*  
*Amen.* 39. (New) A compound of the formula II:

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B2

(II)



wherein:

ring C is an 8, 9, 10, 12 or 13-membered bicyclic or tricyclic moiety which moiety may be saturated or unsaturated, which may be aromatic or non-aromatic, and which optionally may contain 1-3 heteroatoms selected independently from O, N and S;

Zb is -O- or -S-;

n is an integer from 0 to 5;

R<sup>2</sup> represents hydrogen, hydroxy, halogeno, cyano, nitro, trifluoromethyl, C<sub>1-3</sub>alkyl,

C<sub>1-3</sub>alkoxy, C<sub>1-3</sub>alkylsulphonyl, -NR<sup>3</sup>R<sup>4</sup> (wherein R<sup>3</sup> and R<sup>4</sup>, which may be the same or different, each represents hydrogen or C<sub>1-3</sub>alkyl),

or R<sup>2</sup> represents a group R<sup>5</sup>X<sup>1</sup>-, wherein X<sup>1</sup> represents a direct bond, -O-, -CH<sub>2</sub>-, -OC(O)-, -C(O)-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>6</sup>C(O)-, -C(O)NR<sup>7</sup>-, -SO<sub>2</sub>NR<sup>8</sup>-, -NR<sup>9</sup>SO<sub>2</sub>- or -NR<sup>10</sup>-

(wherein R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and R<sup>5</sup> is selected from one of the following twenty-two groups:

1) hydrogen, oxiranylc<sub>1-4</sub>alkyl or C<sub>1-5</sub>alkyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, chloro, bromo and amino;

2) C<sub>1-5</sub>alkylX<sup>2</sup>C(O)R<sup>11</sup> (wherein X<sup>2</sup> represents -O- or -NR<sup>12</sup>- (in which R<sup>12</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>11</sup> represents C<sub>1-3</sub>alkyl, -NR<sup>13</sup>R<sup>14</sup> or -OR<sup>15</sup> (wherein R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> which may be the same or different each represents hydrogen, C<sub>1-5</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

3) C<sub>1-5</sub>alkylX<sup>3</sup>R<sup>16</sup> (wherein X<sup>3</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -OC(O)-, -NR<sup>17</sup>C(O)-, -C(O)NR<sup>18</sup>-, -SO<sub>2</sub>NR<sup>19</sup>-, -NR<sup>20</sup>SO<sub>2</sub>- or -NR<sup>21</sup>- (wherein R<sup>17</sup>, R<sup>18</sup>, R<sup>19</sup>, R<sup>20</sup> and R<sup>21</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>16</sup> represents hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl or a 4-6-membered saturated heterocyclic

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group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));

- A22
- 4) C<sub>1-5</sub>alkylX<sup>4</sup>C<sub>1-5</sub>alkylX<sup>5</sup>R<sup>22</sup> (wherein X<sup>4</sup> and X<sup>5</sup> which may be the same or different are each -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>23</sup>C(O)-, -C(O)NR<sup>24</sup>-, -SO<sub>2</sub>NR<sup>25</sup>-, -NR<sup>26</sup>SO<sub>2</sub>- or -NR<sup>27</sup>- (wherein R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup> and R<sup>27</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>22</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);
  - 5) R<sup>28</sup> (wherein R<sup>28</sup> is a 4-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));
  - 6) C<sub>1-5</sub>alkylR<sup>28</sup> (wherein R<sup>28</sup> is as defined herein);
  - 7) C<sub>2-5</sub>alkenylR<sup>28</sup> (wherein R<sup>28</sup> is as defined herein);
  - 8) C<sub>2-5</sub>alkynylR<sup>28</sup> (wherein R<sup>28</sup> is as defined herein);
  - 9) R<sup>29</sup> (wherein R<sup>29</sup> represents a pyridone group, a phenyl group or a 5-6-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-3 heteroatoms

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selected from O, N and S, which pyridone, phenyl or aromatic heterocyclic group may carry up to 5 substituents selected from hydroxy, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, trifluoromethyl, cyano, -C(O)NR<sup>30</sup>R<sup>31</sup>, -NR<sup>32</sup>C(O)R<sup>33</sup> (wherein R<sup>30</sup>, R<sup>31</sup>, R<sup>32</sup> and R<sup>33</sup>, which may be the same or different, each represents hydrogen, C<sub>1-4</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and a group -(O)-(C<sub>1-4</sub>alkyl)<sub>f</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));

- A22*
- 10) C<sub>1-5</sub>alkylR<sup>29</sup> (wherein R<sup>29</sup> is as defined herein);
  - 11) C<sub>2-5</sub>alkenylR<sup>29</sup> (wherein R<sup>29</sup> is as defined herein);
  - 12) C<sub>2-5</sub>alkynylR<sup>29</sup> (wherein R<sup>29</sup> is as defined herein);
  - 13) C<sub>1-5</sub>alkylX<sup>6</sup>R<sup>29</sup> (wherein X<sup>6</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>34</sup>C(O)-, -C(O)NR<sup>35</sup>-, -SO<sub>2</sub>NR<sup>36</sup>-, -NR<sup>37</sup>SO<sub>2</sub>- or -NR<sup>38</sup>- (wherein R<sup>34</sup>, R<sup>35</sup>, R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined herein);
  - 14) C<sub>2-5</sub>alkenylX<sup>7</sup>R<sup>29</sup> (wherein X<sup>7</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>39</sup>C(O)-, -C(O)NR<sup>40</sup>-, -SO<sub>2</sub>NR<sup>41</sup>-, -NR<sup>42</sup>SO<sub>2</sub>- or -NR<sup>43</sup>- (wherein R<sup>39</sup>, R<sup>40</sup>, R<sup>41</sup>, R<sup>42</sup> and R<sup>43</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined herein);
  - 15) C<sub>2-5</sub>alkynylX<sup>8</sup>R<sup>29</sup> (wherein X<sup>8</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>44</sup>C(O)-, -C(O)NR<sup>45</sup>-, -SO<sub>2</sub>NR<sup>46</sup>-, -NR<sup>47</sup>SO<sub>2</sub>- or -NR<sup>48</sup>- (wherein R<sup>44</sup>, R<sup>45</sup>, R<sup>46</sup>, R<sup>47</sup> and R<sup>48</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined herein);
  - 16) C<sub>1-4</sub>alkylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>29</sup> (wherein X<sup>9</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>49</sup>C(O)-, -C(O)NR<sup>50</sup>-, -SO<sub>2</sub>NR<sup>51</sup>-, -NR<sup>52</sup>SO<sub>2</sub>- or -NR<sup>53</sup>- (wherein R<sup>49</sup>, R<sup>50</sup>, R<sup>51</sup>, R<sup>52</sup> and R<sup>53</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined herein);
  - 17) C<sub>1-4</sub>alkylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein);

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- 18) C<sub>2</sub>-5alkenyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 19) C<sub>2</sub>-5alkynyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 20) C<sub>2</sub>-5alkenylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein);
- 21) C<sub>2</sub>-5alkynylX<sup>9</sup>C<sub>1-4</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined herein); and
- 22) C<sub>1-4</sub>alkylR<sup>54</sup>(C<sub>1-4</sub>alkyl)<sub>q</sub>(X<sup>9</sup>)<sub>r</sub>R<sup>55</sup> (wherein X<sup>9</sup> is as defined herein, q is 0 or 1, r is 0 or 1, and R<sup>54</sup> and R<sup>55</sup> are each independently selected from hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl and a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl), with the proviso that R<sup>54</sup> cannot be hydrogen);
- and additionally wherein any C<sub>1-5</sub>alkyl, C<sub>2</sub>-5alkenyl or C<sub>2</sub>-5alkynyl group in R<sup>5</sup>X<sup>1</sup>- may bear one or more substituents selected from hydroxy, halogeno and amino;

R<sup>1</sup> represents hydrogen, oxo, halogeno, hydroxy, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxymethyl, C<sub>1-4</sub>alkanoyl, C<sub>1-4</sub>haloalkyl, cyano, amino, C<sub>2</sub>-5alkenyl, C<sub>2</sub>-5alkynyl, C<sub>1-3</sub>alkanoyloxy, nitro, C<sub>1-4</sub>alkanoylamino, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphiny, C<sub>1-4</sub>alkylsulphonyl, carbamoyl, N-C<sub>1-4</sub>alkylcarbamoyl, N,N-di(C<sub>1-4</sub>alkyl)carbamoyl, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl, N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl, N-(C<sub>1-4</sub>alkylsulphonyl)amino, N-(C<sub>1-4</sub>alkylsulphonyl)-N-(C<sub>1-4</sub>alkyl)amino

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N,N-di(C<sub>1-4</sub>alkylsulphonyl)amino, a C<sub>3-7</sub>alkylene chain joined to two ring C carbon atoms, C<sub>1-4</sub>alkanoylaminoC<sub>1-4</sub>alkyl, carboxy,

or R<sup>1</sup> represents a group R<sup>56</sup>X<sup>10</sup>, wherein X<sup>10</sup> represents a direct bond, -O-, -CH<sub>2</sub>-, -OC(O)-, -C(O)-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>57</sup>C(O)-, -C(O)NR<sup>58</sup>-, -SO<sub>2</sub>NR<sup>59</sup>-, -NR<sup>60</sup>SO<sub>2</sub>- or -NR<sup>61</sup>- (wherein R<sup>57</sup>, R<sup>58</sup>, R<sup>59</sup>, R<sup>60</sup> and R<sup>61</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl), and R<sup>56</sup> is selected from one of the following twenty-two groups:

1) hydrogen, oxiranylC<sub>1-4</sub>alkyl or C<sub>1-5</sub>alkyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, chloro, bromo and amino;

2) C<sub>1-5</sub>alkylX<sup>11</sup>C(O)R<sup>62</sup> (wherein X<sup>11</sup> represents -O- or -NR<sup>63</sup>- (in which R<sup>63</sup> represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>62</sup> represents C<sub>1-3</sub>alkyl, -NR<sup>64</sup>R<sup>65</sup> or -OR<sup>66</sup> (wherein R<sup>64</sup>, R<sup>65</sup> and R<sup>66</sup> which may be the same or different each represents hydrogen, C<sub>1-5</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

3) C<sub>1-5</sub>alkylX<sup>12</sup>R<sup>67</sup> (wherein X<sup>12</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -OC(O)-, -NR<sup>68</sup>C(O)-, -C(O)NR<sup>69</sup>-, -SO<sub>2</sub>NR<sup>70</sup>-, -NR<sup>71</sup>SO<sub>2</sub>- or -NR<sup>72</sup>- (wherein R<sup>68</sup>, R<sup>69</sup>, R<sup>70</sup>, R<sup>71</sup> and R<sup>72</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>67</sup> represents hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl or a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));

4) C<sub>1-5</sub>alkylX<sup>13</sup>C<sub>1-5</sub>alkylX<sup>14</sup>R<sup>73</sup> (wherein X<sup>13</sup> and X<sup>14</sup> which may be the same or different are each -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>74</sup>C(O)-, -C(O)NR<sup>75</sup>-, -SO<sub>2</sub>NR<sup>76</sup>-, -NR<sup>77</sup>SO<sub>2</sub>- or

*contd.**B2*

- NR<sup>78</sup>- (wherein R<sup>74</sup>, R<sup>75</sup>, R<sup>76</sup>, R<sup>77</sup> and R<sup>78</sup> each independently represents hydrogen, C<sub>1-5</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>73</sup> represents hydrogen, C<sub>1-5</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);
- 5) R<sup>79</sup> (wherein R<sup>79</sup> is a 4-6-membered saturated heterocyclic group (linked via carbon or nitrogen) with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));
- A22 6) C<sub>1-5</sub>alkylR<sup>79</sup> (wherein R<sup>79</sup> is as defined herein);
- 7) C<sub>2-5</sub>alkenylR<sup>79</sup> (wherein R<sup>79</sup> is as defined herein);
- 8) C<sub>2-5</sub>alkynylR<sup>79</sup> (wherein R<sup>79</sup> is as defined herein);
- 9) R<sup>80</sup> (wherein R<sup>80</sup> represents a pyridone group, a phenyl group or a 5-6-membered aromatic heterocyclic group (linked via carbon or nitrogen) with 1-3 heteroatoms selected from O, N and S, which pyridone, phenyl or aromatic heterocyclic group may carry up to 5 substituents selected from hydroxy, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, trifluoromethyl, cyano, -C(O)NR<sup>81</sup>R<sup>82</sup>, -NR<sup>83</sup>C(O)R<sup>84</sup> (wherein R<sup>81</sup>, R<sup>82</sup>, R<sup>83</sup> and R<sup>84</sup>, which may be the same or different, each represents hydrogen, C<sub>1-4</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and a group -(O-)(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl));
- 10) C<sub>1-5</sub>alkylR<sup>80</sup> (wherein R<sup>80</sup> is as defined herein);
- 11) C<sub>2-5</sub>alkenylR<sup>80</sup> (wherein R<sup>80</sup> is as defined herein);
- 12) C<sub>2-5</sub>alkynylR<sup>80</sup> (wherein R<sup>80</sup> is as defined herein).

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- 13)  $C_{1-5}\text{alkyl}X^{15}R^{80}$  (wherein  $X^{15}$  represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>85</sup>C(O)-, -C(O)NR<sup>86</sup>-, -SO<sub>2</sub>NR<sup>87</sup>-, -NR<sup>88</sup>SO<sub>2</sub>- or -NR<sup>89</sup>- (wherein R<sup>85</sup>, R<sup>86</sup>, R<sup>87</sup>, R<sup>88</sup> and R<sup>89</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
- 14)  $C_{2-5}\text{alkenyl}X^{16}R^{80}$  (wherein X<sup>16</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>90</sup>C(O)-, -C(O)NR<sup>91</sup>-, -SO<sub>2</sub>NR<sup>92</sup>-, -NR<sup>93</sup>SO<sub>2</sub>- or -NR<sup>94</sup>- (wherein R<sup>90</sup>, R<sup>91</sup>, R<sup>92</sup>, R<sup>93</sup> and R<sup>94</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
- 15)  $C_{2-5}\text{salkynyl}X^{17}R^{80}$  (wherein X<sup>17</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>95</sup>C(O)-, -C(O)NR<sup>96</sup>-, -SO<sub>2</sub>NR<sup>97</sup>-, -NR<sup>98</sup>SO<sub>2</sub>- or -NR<sup>99</sup>- (wherein R<sup>95</sup>, R<sup>96</sup>, R<sup>97</sup>, R<sup>98</sup> and R<sup>99</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
- 16)  $C_{1-4}\text{alkyl}X^{18}C_{1-4}\text{alkyl}R^{80}$  (wherein X<sup>18</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>100</sup>C(O)-, -C(O)NR<sup>101</sup>-, -SO<sub>2</sub>NR<sup>102</sup>-, -NR<sup>103</sup>SO<sub>2</sub>- or -NR<sup>104</sup>- (wherein R<sup>100</sup>, R<sup>101</sup>, R<sup>102</sup>, R<sup>103</sup> and R<sup>104</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>80</sup> is as defined herein);
- A22*
- 17)  $C_{1-4}\text{alkyl}X^{18}C_{1-4}\text{alkyl}R^{79}$  (wherein X<sup>18</sup> and R<sup>79</sup> are as defined herein);
- 18) C<sub>2-5</sub>alkenyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 19) C<sub>2-5</sub>salkynyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, amino, C<sub>1-4</sub>alkylamino, N,N-di(C<sub>1-4</sub>alkyl)amino, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl and N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl;
- 20)  $C_{2-5}\text{alkenyl}X^{18}C_{1-4}\text{alkyl}R^{79}$  (wherein X<sup>18</sup> and R<sup>79</sup> are as defined herein);
- 21)  $C_{2-5}\text{salkynyl}X^{18}C_{1-4}\text{alkyl}R^{79}$  (wherein X<sup>18</sup> and R<sup>79</sup> are as defined herein); and
- 22)  $C_{1-4}\text{alkyl}R^{105}(C_{1-4}\text{alkyl})_x(X^{18})_yR^{106}$  (wherein X<sup>18</sup> is as defined herein, x is 0 or 1, y is 0 or 1, and R<sup>105</sup> and R<sup>106</sup> are each independently selected from hydrogen, C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl and a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-4</sub>alkoxy and which

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B2

cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(-O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl) with the proviso that R<sup>105</sup> cannot be hydrogen);

and additionally wherein any C<sub>1-5</sub>alkyl, C<sub>2-5</sub>alkenyl or C<sub>2-5</sub>alkynyl group in R<sup>56</sup>X<sup>10</sup>- may bear one or more substituents selected from hydroxy, halogeno and amino;

A22  
R<sup>2a</sup> represents hydrogen, halogeno, C<sub>1-3</sub>alkyl, trifluoromethyl, C<sub>1-3</sub>alkoxy, C<sub>1-3</sub>alkylsulphonyl, -NR<sup>3a</sup>R<sup>4a</sup> (wherein R<sup>3a</sup> and R<sup>4a</sup>, which may be the same or different, each represents hydrogen or C<sub>1-3</sub>alkyl), or R<sup>5a</sup>(CH<sub>2</sub>)<sub>za</sub>X<sup>1a</sup> (wherein R<sup>5a</sup> is a 4- or 6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-4</sub>cyanoalkyl, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, di(C<sub>1-4</sub>alkyl)amino, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkyl, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylaminoC<sub>1-4</sub>alkoxy, di(C<sub>1-4</sub>alkyl)aminoC<sub>1-4</sub>alkoxy and a group -(-O-)<sub>f</sub>(C<sub>1-4</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a 4-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which cyclic group may bear one or more substituents selected from C<sub>1-4</sub>alkyl), za is an integer from 0 to 4 and X<sup>1a</sup> represents a direct bond, -O-, -CH<sub>2</sub>-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>6a</sup>C(O)-, -C(O)NR<sup>7a</sup>-, -SO<sub>2</sub>NR<sup>8a</sup>-, -NR<sup>9a</sup>SO<sub>2</sub>- or -NR<sup>10a</sup>- (wherein R<sup>6a</sup>, R<sup>7a</sup>, R<sup>8a</sup>, R<sup>9a</sup> and R<sup>10a</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));

or a salt or prodrug thereof;

with the proviso that R<sup>2</sup> is not hydrogen, and excluding the compounds:

6,7-dimethoxy-4-(1-naphthylsulphonyl)quinazoline,

6,7-dimethoxy-4-(2-naphthylsulphonyl)quinazoline.

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B2

~~6,7-dimethoxy-4-(1-naphthyoxy)quinazoline and  
6,7-dimethoxy-4-(2-naphthyoxy)quinazoline~~

40. (New) A compound of the formula II according to claim 39 wherein R<sup>2</sup> represents hydroxy, halogeno, cyano, nitro, trifluoromethyl, C<sub>1-3</sub>alkyl, amino or R<sup>5</sup>X<sup>1</sup>-, wherein X<sup>1</sup> is as defined in claim 39 and R<sup>5</sup> is selected from one of the following twenty-two groups:

- A2  
Sub C1
- 1) C<sub>1-4</sub>alkyl which may be unsubstituted or which may be substituted with one or more groups selected from fluoro, chloro and bromo, or C<sub>2-5</sub>alkyl which may be unsubstituted or substituted with one or more groups selected from hydroxy and amino;
  - 2) C<sub>2-3</sub>alkylX<sup>2</sup>C(O)R<sup>11</sup> (wherein X<sup>2</sup> is as defined in claim 39 and R<sup>11</sup> represents -NR<sup>13</sup>R<sup>14</sup> or -OR<sup>15</sup> (wherein R<sup>13</sup>, R<sup>14</sup> and R<sup>15</sup> which may be the same or different are each C<sub>1-4</sub>alkyl or C<sub>1-2</sub>alkoxyethyl));
  - 3) C<sub>2-4</sub>alkylX<sup>3</sup>R<sup>16</sup> (wherein X<sup>3</sup> is as defined in claim 39 and R<sup>16</sup> is a group selected from C<sub>1-3</sub>alkyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl and tetrahydropyranyl, which C<sub>1-3</sub>alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and C<sub>1-2</sub>alkoxy and which cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl or tetrahydropyranyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano, C<sub>1-3</sub>cyanooalkyl, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>hydroxyalkyl, C<sub>1-3</sub>alkoxy, C<sub>1-2</sub>alkoxyC<sub>1-3</sub>alkyl, C<sub>1-2</sub>alkylsulphonylC<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxycarbonyl, C<sub>1-3</sub>alkylamino, di(C<sub>1-3</sub>alkyl)amino, C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkyl, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkylaminoC<sub>1-3</sub>alkoxy, di(C<sub>1-3</sub>alkyl)aminoC<sub>1-3</sub>alkoxy and a group -(O-)f(C<sub>1-3</sub>alkyl)<sub>g</sub>ringD (wherein f is 0 or 1, g is 0 or 1 and ring D is a heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl, morpholino and thiomorpholino, which cyclic group may bear one or more substituents selected from C<sub>1-3</sub>alkyl));
  - 4) C<sub>2-3</sub>alkylX<sup>4</sup>C<sub>2-3</sub>alkylX<sup>5</sup>R<sup>22</sup> (wherein X<sup>4</sup> and X<sup>5</sup> are as defined in claim 39 and R<sup>22</sup> represents hydrogen or C<sub>1-3</sub>alkyl);
  - 5) R<sup>28</sup> (wherein R<sup>28</sup> is as defined in claim 39);

- Sub C1  
Ap2*
- 6)  $C_{1-4}alkylR^{110}$  (wherein  $R^{110}$  is a group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidin-1-yl, azetidinyl, 1,3-dioxolan-2-yl, 1,3-dioxan-2-yl, 1,3-dithiolan-2-yl and 1,3-dithian-2-yl, which group is linked to  $C_{1-4}alkyl$  through a carbon atom and which group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano,  $C_{1-3}cyanoalkyl$ ,  $C_{1-3}alkyl$ ,  $C_{1-3}hydroxyalkyl$ ,  $C_{1-3}alkoxy$ ,  $C_{1-2}alkoxyC_{1-3}alkyl$ ,  $C_{1-2}alkylsulphonylC_{1-3}alkyl$ ,  $C_{1-3}alkoxycarbonyl$ ,  $C_{1-3}alkylamino$ ,  $di(C_{1-3}alkyl)amino$ ,  $C_{1-3}alkylaminoC_{1-3}alkyl$ ,  $di(C_{1-3}alkyl)aminoC_{1-3}alkyl$ ,  $C_{1-3}alkylaminoC_{1-3}alkoxy$ ,  $di(C_{1-3}alkyl)aminoC_{1-3}alkoxy$  and a group  $-(-O-)_f(C_{1-3}alkyl)_g ringD$  (wherein  $f$  is 0 or 1,  $g$  is 0 or 1 and ring D is a heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl, morpholino and thiomorpholino, which cyclic group may bear one or more substituents selected from  $C_{1-3}alkyl$ )) or  $C_{2-4}alkylR^{111}$  (wherein  $R^{111}$  is a group selected from morpholino, thiomorpholino, azetidin-1-yl, pyrrolidin-1-yl, piperazin-1-yl and piperidino which group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, cyano,  $C_{1-3}cyanoalkyl$ ,  $C_{1-3}alkyl$ ,  $C_{1-3}hydroxyalkyl$ ,  $C_{1-3}alkoxy$ ,  $C_{1-2}alkoxyC_{1-3}alkyl$ ,  $C_{1-2}alkylsulphonylC_{1-3}alkyl$ ,  $C_{1-3}alkoxycarbonyl$ ,  $C_{1-3}alkylamino$ ,  $di(C_{1-3}alkyl)amino$ ,  $C_{1-3}alkylaminoC_{1-3}alkyl$ ,  $di(C_{1-3}alkyl)aminoC_{1-3}alkyl$ ,  $C_{1-3}alkylaminoC_{1-3}alkoxy$ ,  $di(C_{1-3}alkyl)aminoC_{1-3}alkoxy$  and a group  $-(-O-)_f(C_{1-3}alkyl)_g ringD$  (wherein  $f$  is 0 or 1,  $g$  is 0 or 1 and ring D is a heterocyclic group selected from pyrrolidinyl, piperazinyl, piperidinyl, imidazolidinyl, azetidinyl, morpholino and thiomorpholino, which cyclic group may bear one or more substituents selected from  $C_{1-3}alkyl$ ));
- 7)  $C_{3-4}alkenylR^{112}$  (wherein  $R^{112}$  represents  $R^{110}$  or  $R^{111}$  as defined herein);
- 8)  $C_{3-4}alkynylR^{112}$  (wherein  $R^{112}$  represents  $R^{110}$  or  $R^{111}$  as defined herein);
- 9)  $R^{29}$  (wherein  $R^{29}$  is as defined in claim 39);
- 10)  $C_{1-4}alkylR^{29}$  (wherein  $R^{29}$  is as defined in claim 39);
- 11) 1- $R^{29}$ prop-1-en-3-yl or 1- $R^{29}$ but-2-en-4-yl (wherein  $R^{29}$  is as defined in claim 39 with the proviso that when  $R^5$  is 1- $R^{29}$ prop-1-en-3-yl,  $R^{29}$  is linked to the alkenyl group via a carbon atom);

- SCH*  
*C1*
- AZ/2*
- 12)  $1-R^{29}\text{prop-1-yn-3-yl}$  or  $1-R^{29}\text{but-2-yn-4-yl}$  (wherein  $R^{29}$  is as defined in claim 39 with the proviso that when  $R^5$  is  $1-R^{29}\text{prop-1-yn-3-yl}$ ,  $R^{29}$  is linked to the alkynyl group via a carbon atom);
- 13)  $C_{1-5}\text{alkyl}X^6R^{29}$  (wherein  $X^6$  and  $R^{29}$  are as defined in claim 39);
- 14)  $1-(R^{29}X^7)\text{but-2-en-4-yl}$  (wherein  $X^7$  and  $R^{29}$  are as defined in claim 39);
- 15)  $1-(R^{29}X^8)\text{but-2-yn-4-yl}$  (wherein  $X^8$  and  $R^{29}$  are as defined in claim 39);
- 16)  $C_{2-3}\text{alkyl}X^9C_{1-3}\text{alkyl}R^{29}$  (wherein  $X^9$  and  $R^{29}$  are as defined in claim 39);
- 17)  $C_{2-3}\text{alkyl}X^9C_{1-3}\text{alkyl}R^{28}$  (wherein  $X^9$  and  $R^{28}$  are as defined in claim 39);
- 18)  $C_{2-5}\text{alkenyl}$  which may be unsubstituted or which may be substituted with one or more fluorine atoms or with one or two groups selected from hydroxy, fluoro, amino,  $C_{1-4}\text{alkylamino}$ , N,N-di( $C_{1-4}\text{alkyl}$ )amino, aminosulphonyl, N- $C_{1-4}\text{alkylaminosulphonyl}$  and N,N-di( $C_{1-4}\text{alkyl}$ )aminosulphonyl;
- 19)  $C_{2-5}\text{salkynyl}$  which may be unsubstituted or which may be substituted with one or more fluorine atoms or with one or two groups selected from hydroxy, fluoro, amino,  $C_{1-4}\text{alkylamino}$ , N,N-di( $C_{1-4}\text{alkyl}$ )amino, aminosulphonyl, N- $C_{1-4}\text{alkylaminosulphonyl}$  and N,N-di( $C_{1-4}\text{alkyl}$ )aminosulphonyl;
- 20)  $C_{2-4}\text{alkenyl}X^9C_{1-3}\text{alkyl}R^{28}$  (wherein  $X^9$  and  $R^{28}$  are as defined in claim 39);
- 21)  $C_{2-4}\text{alkynyl}X^9C_{1-3}\text{alkyl}R^{28}$  (wherein  $X^9$  and  $R^{28}$  are as defined in claim 39); and
- 22)  $C_{1-3}\text{alkyl}R^{54}(C_{1-3}\text{alkyl})_q(X^9)_rR^{55}$  (wherein  $X^9$ ,  $q$ ,  $r$ ,  $R^{54}$  and  $R^{55}$  are as defined in claim 39);

and additionally wherein any  $C_{1-5}\text{alkyl}$ ,  $C_{2-5}\text{alkenyl}$  or  $C_{2-5}\text{salkynyl}$  group in  $R^5X^1$ - may bear one or more substituents selected from hydroxy, halogeno and amino.

41. (New) A compound according to claim 39 wherein Zb is -O-.

42. (New) A compound according to claim 39 wherein ring C is a 9-10-membered heteroaromatic bicyclic moiety which contains 1-3 heteroatoms selected independently from O, N and S.

*Suh C1*

*Afz*

43. (New) A compound according to claim 39 wherein R<sup>1</sup> represents oxo, halogeno, hydroxy, C<sub>1-2</sub>alkoxy, C<sub>1-2</sub>alkyl, C<sub>1-2</sub>alkoxymethyl, C<sub>2-3</sub>alkanoyl, C<sub>1-2</sub>haloalkyl, cyano, amino, C<sub>2-4</sub>alkenyl, C<sub>2-4</sub>alkynyl, C<sub>2-3</sub>alkanoyloxy, nitro, C<sub>2-3</sub>alkanoylamino, C<sub>1-2</sub>alkoxycarbonyl, C<sub>1-2</sub>alkylsulphanyl, C<sub>1-2</sub>alkylsulphinyl, C<sub>1-2</sub>alkylsulphonyl, carbamoyl, N-C<sub>1-2</sub>alkylcarbamoyl, N,N-di(C<sub>1-2</sub>alkyl)carbamoyl, aminosulphonyl, N-C<sub>1-2</sub>alkylaminosulphonyl, N,N-di(C<sub>1-2</sub>alkyl)aminosulphonyl, N-(C<sub>1-2</sub>alkylsulphonyl)amino, N-(C<sub>1-2</sub>alkylsulphonyl)-N-(C<sub>1-2</sub>alkyl)amino or a C<sub>3-7</sub>alkylene chain joined to two ring C carbon atoms.

44. (New) A compound according to claim 39 wherein n is 0, 1 or 2.

45. (New) A compound according to claim 39 wherein Zb is -O-, with the proviso that R<sup>2</sup> is not hydrogen, substituted or unsubstituted C<sub>1-5</sub>alkyl, halogeno, C<sub>1-5</sub>alkoxy, C<sub>2-5</sub>alkenyl, phenoxy or phenylC<sub>1-5</sub>alkoxy.

46. (New) A compound according to claim 39 selected from  
6-methoxy-7-((1-methylpiperidin-4-yl)methoxy)-4-(2-naphthyloxy)quinazoline,  
6-methoxy-7-((1-methylpiperidin-4-yl)methoxy)-4-(quinolin-7-yloxy)quinazoline,  
7-(3-(1,1-dioxothiomorpholino)propoxy)-6-methoxy-4-(quinolin-7-yloxy)quinazoline,  
6-methoxy-7-(3-(4-methylpiperazin-1-yl)propoxy)-4-(quinolin-7-yloxy)quinazoline,  
6-methoxy-7-((1-methylpiperidin-3-yl)methoxy)-4-(quinolin-7-yloxy)quinazoline,  
4-(4-chloroquinolin-7-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline,  
6-methoxy-7-((1-methylpiperidin-4-yl)methoxy)-4-(4-methylquinolin-7-yloxy) quinazoline,  
6-methoxy-4-(4-methylquinolin-7-yloxy)-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline,  
6-methoxy-7-(2-(2-methoxyethoxy)ethoxy)-4-(quinolin-7-yloxy)quinazoline,  
6-methoxy-7-((1-(2-methylsulphonylethyl)piperidin-4-yl)methoxy)-4-(quinolin-7-yloxy)quinazoline,  
4-(2,3-dimethylindol-5-yloxy)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy) quinazoline,  
4-(2,3-dimethylindol-5-yloxy)-6-methoxy-7-(3-pyrrolidin-1-ylpropoxy)quinazoline,

*SUB C*

*A/2*

6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)-4-(2-trifluoromethylindol-5-yloxy)quinazoline,

6-methoxy-7-(3-pyrrolidin-1-ylpropoxy)-4-(2-trifluoromethylindol-5-yloxy)quinazoline,

(*R,S*)-4-(3-fluoroquinolin-7-yloxy)-6-methoxy-7-((1-methylpiperidin-3-yl)methoxy)quinazoline,

4-(indol-5-yloxy)-6-methoxy-7-(3-methylsulphonylpropoxy)quinazoline,

7-(3-N,N-dimethylaminopropoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(2-morpholinoethoxy)ethoxy)quinazoline,

7-(2-(N,N-diethylamino)ethoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

6-methoxy-7-(3-piperidinopropoxy)-4-(quinolin-7-yloxy)quinazoline,

4-(2-methylindol-5-yloxy)-7-(3-morpholinopropoxy)quinazoline,

4-(2-methylindol-5-yloxy)-7-(2-(piperidin-1-yl)ethoxy)quinazoline,

4-(2-methylindol-5-yloxy)-7-(2-(1*H*-1,2,4-triazol-1-yl)ethoxy)quinazoline,

6-methoxy-7-(3-piperidinopropoxy)-4-(6-trifluoromethylindol-5-yloxy)quinazoline,

7-(3-(methylsulphonyl)propoxy)-4-(2-methylindol-5-yloxy)quinazoline,

7-(3-(N,N-dimethylamino)propoxy)-4-(2,3-dimethylindol-5-yloxy)-6-methoxy-quinazoline,

4-(2,3-dimethylindol-5-yloxy)-6-methoxy-7-(1-methylpiperidin-3-ylmethoxy) quinazoline,

7-(2-(N,N-diethylamino)ethoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,

4-(indol-5-yloxy)-6-methoxy-7-(2-(piperidin-2-yl)ethoxy)quinazoline,

4-(indol-5-yloxy)-6-methoxy-7-(2-(piperidin-1-yl)ethoxy)quinazoline,

4-(indol-6-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline,

7-(3-(ethylsulphonyl)propoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

6-methoxy-4-(3-methylindol-5-yloxy)-7-(3-piperidinopropoxy)quinazoline,

7-(2-hydroxy-3-piperidinopropoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

7-(2-hydroxy-3-(4-methylpiperazin-1-yl)propoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(N-methylamino)ethoxy)quinazoline, and

7-(2-hydroxy-3-(isopropylamino)propoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

or a salt thereof.

47. (New) A compound according to claim 39 selected from

6-methoxy-7-(3-morpholinopropoxy)-4-(quinolin-7-yloxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-((1-methylpiperidin-4-yl)methoxy)quinazoline,  
4-(indol-5-yloxy)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)quinazoline,  
4-(indol-5-yloxy)-6-methoxy-7-(3-pyrrolidin-1-ylpropoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(3-methylsulphonylpropoxy)quinazoline,  
7-((1-cyanomethyl)piperidin-4-ylmethoxy)-6-methoxy-4-(2-methylindol-5-yloxy)  
quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-morpholinoethoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-pyrrolidin-1-yethoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(1-methylpiperidin-3-ylmethoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-piperidinoethoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(N-methyl-N-(4-pyridyl)amino)ethoxy)  
quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(3-morpholinopropoxy)quinazoline,  
6-methoxy-7-(2-(2-methoxyethoxy)ethoxy)-4-(2-methylindol-5-yloxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(1H-1,2,4-triazol-1-yl)ethoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(2-(4-methylpiperazin-1-yl)ethoxy)ethoxy)  
quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(3-piperidinopropoxy)quinazoline,  
4-(indol-5-yloxy)-6-methoxy-7-(3-piperidinopropoxy)quinazoline,  
6-methoxy-7-(1-(2-methoxyethyl)piperidin-4-ylmethoxy)-4-(2-methylindol-5-yloxy)  
quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-((2-(2-pyrrolidin-1-ylethyl)carbamoyl)vinyl)  
quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(3-(4-methylpiperazin-1-yl)propoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(piperidin-4-ylmethoxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(piperidin-4-yloxy)ethoxy)quinazoline,

*Sub C1*  
*A/2*

6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(N-methyl-N-methylsulphonylamino)ethoxy)quinazoline,

7-(2-(1-(2-cyanoethyl)piperidin-4-yloxy)ethoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

4-(2-methylindol-5-yloxy)-7-(3-(pyrrolidin-yl)propoxy)quinazoline,

4-(2-methylindol-5-yloxy)-7-(3-(1,1-dioxothiomorpholino)propoxy)quinazoline,

4-(2-methylindol-5-yloxy)-7-(piperidin-4-ylmethoxy)quinazoline,

4-(indol-5-yloxy)-6-methoxy-7-(2-(2-methoxyethoxy)ethoxy)quinazoline,

7-(3-(N,N-dimethylamino)propoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,

7-(3-(N,N-diethylamino)propoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,

7-(3-(1,1-dioxothiomorpholino)propoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,

4-(indol-5-yloxy)-6-methoxy-7-(2-(4-pyridyloxy)ethoxy)quinazoline,

4-(indol-6-yloxy)-6-methoxy-7-(3-piperidinopropoxy)quinazoline,

7-(1-(2-methoxyethyl)piperidin-4-ylmethoxy)-4-(2-methylindol-5-yloxy)quinazoline,

7-(2-hydroxy-3-morpholinopropoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

7-(2-(1-(2-methoxyethyl)piperidin-4-yl)ethoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

7-(2-hydroxy-3-pyrrolidin-1-ylpropoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

7-(3-(N,N-diethylamino)-2-hydroxypropoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

7-(3-(1,1-dioxothiomorpholino)propoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,

6-methoxy-4-(2-methylindol-5-yloxy)-7-(2-(4-pyridyloxy)ethoxy)quinazoline,

4-(indol-5-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline,

(2*R*)-6-methoxy-(2-methyl-1*H*-indol-5-yloxy)-7-(2-hydroxy-3-piperidinopropoxy)quinazoline,

(5*R*)-6-methoxy-4-(2-methyl-1*H*-indol-5-yloxy)-7-(2-oxopyrrolidin-5-ylmethoxy)quinazoline,

4-(4-bromoindol-5-yloxy)-6-methoxy-7-(3-piperidinopropoxy)quinazoline,

6-methoxy-4-(2-methylindol-5-yloxy)-7-(1-(2-(pyrrolidin-1-yl)ethyl)-piperidin-4-ylmethoxy)quinazoline,

(2R)-7-(2-hydroxy-3-(pyrrolidin-1-yl)propoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,  
(2R)-7-(2-hydroxy-3-morpholinopropoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,  
(2R)-7-(2-hydroxy-3-piperidinopropoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,  
(2S)-7-(2-hydroxy-3-((N,N-diisopropyl)amino)propoxy)-4-(indol-5-yloxy)-6-  
methoxyquinazoline,  
*Sub C1*  
(2S)-7-(2-hydroxy-3-piperidinopropoxy)-4-(indol-5-yloxy)-6-methoxyquinazoline,  
(2R)-7-(2-hydroxy-3-piperidinopropoxy)-6-methoxy-4-(3-methylindol-5-yloxy) quinazoline,  
(2R)-7-(2-hydroxy-3-(pyrrolidin-1-yl)propoxy)-6-methoxy-4-(3-methylindol-5-yloxy)  
quinazoline,  
(2R)-7-(2-hydroxy-3-(pyrrolidin-1-yl)propoxy)-6-methoxy-4-(2-methylindol-5-yloxy)quinazoline,  
*AZ2*  
(2R)-7-(2-hydroxy-3-(4-methylpiperazin-1-yl)propoxy)-6-methoxy-4-(2-methylindol-5-  
yloxy)quinazoline,  
6-methoxy-4-(2-methylindol-5-yloxy)-7-(1-(2-morpholinoethyl)piperidin-4-ylmethoxy)  
quinazoline,  
4-(3-fluoro-quinolin-7-yloxy)-6-methoxy-7-(3-piperidinopropoxy)quinazoline,  
4-(3-fluoro-quinolin-7-yloxy)-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline,  
6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)-4-(1*H*-pyrrolo[2,3-*b*]pyridin-5-yloxy)  
quinazoline,  
(2S)-6-methoxy-(2-methyl-1*H*-indol-5-yloxy)-7-(2-hydroxy-3-piperidinopropoxy)  
quinazoline, and  
4-(6-fluoro-2-methylindol-5-yloxy)-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy) quinazoline,  
or a salt thereof.

48. (New) A compound according to claim 39 selected from

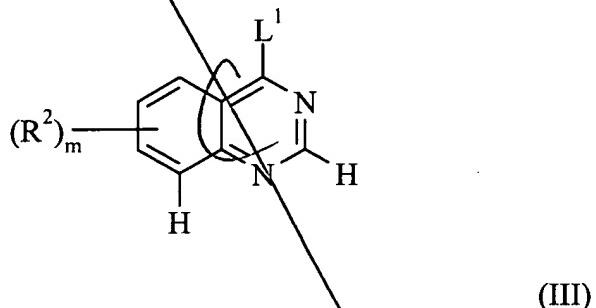
6-methoxy-4-(2-methylindol-5-yloxy)-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline,  
4-(4-fluoroindol-5-yloxy)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)quinazoline,  
4-(4-fluoroindol-5-yloxy)-6-methoxy-7-(3-(4-methylpiperazin-1-yl)propoxy)quinazoline,  
4-(6-fluoroindol-5-yloxy)-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline,  
4-(4-fluoroindol-5-yloxy)-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy)quinazoline,

4-(4-fluoroindol-5-yloxy)-6-methoxy-7-(3-piperidinopropoxy)quinazoline,  
 4-(4-fluoro-2-methylindol-5-yloxy)-6-methoxy-7-(3-(pyrrolidin-1-yl)propoxy) quinazoline,  
 4-(4-fluoro-2-methylindol-5-yloxy)-6-methoxy-7-(3-piperidinopropoxy)quinazoline,  
 4-(4-fluoro-2-methylindol-5-yloxy)-6-methoxy-7-((1-methylpiperidin-4-yl)methoxy)  
 quinazoline,  
~~Suj~~  
~~C1~~  
~~AZ2~~  
 4-(4-fluoro-2-methylindol-5-yloxy)-6-methoxy-7-(3-(4-methylpiperazin-1-yl)propoxy)  
 quinazoline,  
 4-(4-fluoroindol-5-yloxy)-6-methoxy-7-(2-(1-methylpiperidin-4-yl)ethoxy)quinazoline,  
 (2R)-7-(2-hydroxy-3-(pyrrolidin-1-yl)propoxy)-4-(4-fluoro-2-methylindol-5-yloxy)-6-  
 methoxyquinazoline, and  
 4-(4-fluoro-2-methylindol-5-yloxy)-6-methoxy-7-(2-(1-methylpiperidin-4-yl)ethoxy)  
 quinazoline,  
 or a salt thereof.

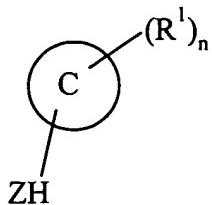
49. (New) A compound according to claim 39 in the form of a pharmaceutically acceptable salt.

50. (New) A process for the preparation of a compound according to claim 39 of formula II or salt thereof which comprises:

(a) the reaction of a compound of the formula III:



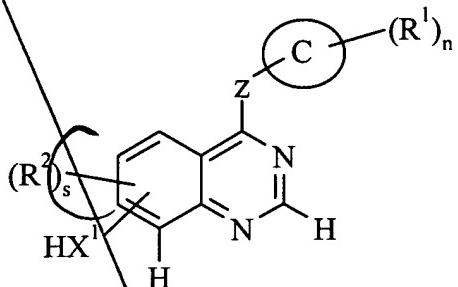
(wherein  $R^2$  and  $m$  are as defined in claim 31 and  $L^1$  is a displaceable moiety), with a compound of the formula IV:



(IV)

(wherein ring C,  $R^1$ , Z and n are as defined in claim 31);

- (b) a compound of formula I or a salt thereof wherein at least one  $R^2$  is  $R^5X^1$  wherein  $R^5$  is as defined in claim 31 and  $X^1$  is -O-, -S-, -OC(O)- or -NR<sup>10</sup>- (wherein R<sup>10</sup> independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) may be prepared by the reaction of a compound of the formula V:



(V)

(wherein ring C, Z,  $R^1$ ,  $R^2$  and n are as defined in claim 31 and  $X^1$  is as herein defined in this section and s is an integer from 0 to 2) with a compound of formula VI:

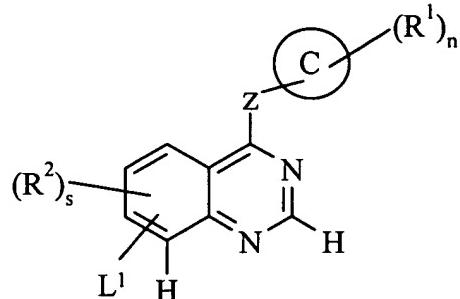


(VI)

(wherein  $R^5$  is as defined in claim 31 and  $L^1$  is as herein defined);

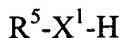
- (c) a compound of the formula I or a salt thereof wherein at least one  $R^2$  is  $R^5X^1$  wherein  $R^5$  is as defined in claim 31 and  $X^1$  is -O-, -S-, -OC(O)- or -NR<sup>10</sup>- (wherein R<sup>10</sup> represents

hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) may be prepared by the reaction of a compound of the formula VII:



(VII)

with a compound of the formula VIII:



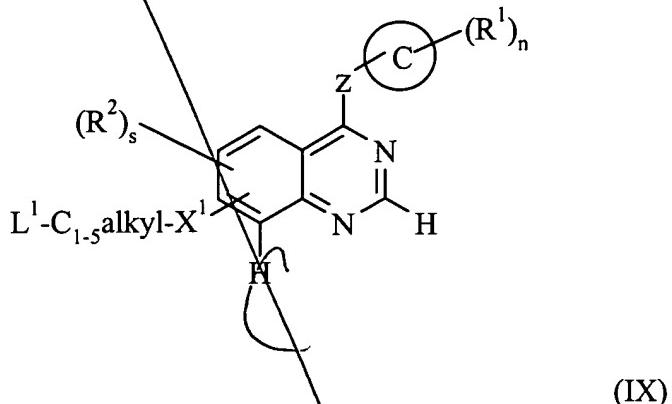
(VIII)

(wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>5</sup>, ring C, Z and n are as defined in claim 31 and L<sup>1</sup>, s and X<sup>1</sup> are as herein defined);

- (d) a compound of the formula I or a salt thereof wherein at least one R<sup>2</sup> is R<sup>5</sup>X<sup>1</sup> wherein X<sup>1</sup> is as defined in claim 31 and R<sup>5</sup> is C<sub>1-3</sub>alkylR<sup>113</sup>, wherein R<sup>113</sup> is selected from one of the following nine groups:
- 1) X<sup>19</sup>C<sub>1-3</sub>alkyl (wherein X<sup>19</sup> represents -O-, -S-, -SO<sub>2</sub>-, -NR<sup>114</sup>C(O)- or -NR<sup>115</sup>SO<sub>2</sub>- (wherein R<sup>114</sup> and R<sup>115</sup> which may be the same or different are each hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);
  - 2) NR<sup>116</sup>R<sup>117</sup> (wherein R<sup>116</sup> and R<sup>117</sup> which may be the same or different are each hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl);
  - 3) X<sup>20</sup>C<sub>1-5</sub>alkylX<sup>5</sup>R<sup>22</sup> (wherein X<sup>20</sup> represents -O-, -S-, -SO<sub>2</sub>-, -NR<sup>118</sup>C(O)-, -NR<sup>119</sup>SO<sub>2</sub>- or -NR<sup>120</sup>- (wherein R<sup>118</sup>, R<sup>119</sup>, and R<sup>120</sup> which may be the same or different are each hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and X<sup>5</sup> and R<sup>22</sup> are as defined in claim 31);
  - 4) R<sup>28</sup> (wherein R<sup>28</sup> is as defined in claim 31);

- 5)  $X^{21}R^{29}$  (wherein  $X^{21}$  represents -O-, -S-, -SO<sub>2</sub>-, -NR<sup>121</sup>C(O)-, -NR<sup>122</sup>SO<sub>2</sub>-, or -NR<sup>123</sup>- (wherein R<sup>121</sup>, R<sup>122</sup>, and R<sup>123</sup> which may be the same or different are each hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined in claim 31); and
- 6)  $X^{22}C_{1-3}\text{alkyl}R^{29}$  (wherein X<sup>22</sup> represents -O-, -S-, -SO<sub>2</sub>-, -NR<sup>124</sup>C(O)-, -NR<sup>125</sup>SO<sub>2</sub>- or -NR<sup>126</sup>- (wherein R<sup>124</sup>, R<sup>125</sup> and R<sup>126</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and R<sup>29</sup> is as defined in claim 31);
- 7) R<sup>29</sup> (wherein R<sup>29</sup> is as defined in claim 31);
- 8)  $X^{22}C_{1-4}\text{alkyl}R^{28}$  (wherein X<sup>22</sup> and R<sup>28</sup> are as defined in claim 31); and
- 9)  $R^{54}(C_{1-4}\text{alkyl})_q(X^9)R^{55}$  (wherein q, r, X<sup>9</sup>, R<sup>54</sup> and R<sup>55</sup> are as defined in claim 31);

may be prepared by reacting a compound of the formula IX:



(wherein X<sup>1</sup>, R<sup>1</sup>, R<sup>2</sup>, ring C, Z and n are as defined in claim 31 and L<sup>1</sup> and s are as herein defined) with a compound of the formula X:



(wherein R<sup>113</sup> is as defined herein);

- (e) a compound of the formula I or a salt thereof wherein one or more of the substituents (R<sup>2</sup>)<sub>m</sub> is represented by -NR<sup>127</sup>R<sup>128</sup>, where one (and the other is hydrogen) or both of R<sup>127</sup> and R<sup>128</sup> are C<sub>1-3</sub>alkyl, may be effected by the reaction of compounds of formula I wherein the substituent (R<sup>2</sup>)<sub>m</sub> is an amino group and an alkylating agent; or

(f) a compound of the formula I or a salt thereof wherein  $X^1$  is  $-SO-$  or  $-SO_2-$  may be prepared by oxidation from the corresponding compound in which  $X^1$  is  $-S-$  or  $-SO-$ ; and when a salt of a compound of formula I is required, reaction of the compound obtained with an acid or base whereby to obtain the desired salt.

*Sub C1*  
51. A pharmaceutical composition which comprises as active ingredient a compound of formula I or a pharmaceutically acceptable salt thereof according to claim 39 in association with a pharmaceutically acceptable excipient or carrier.

*AZ2*  
52. The compound 4-fluoro-5-hydroxy-2-methylindole or a salt thereof.

53. The compound 4-fluoro-5-hydroxyindole or a salt thereof.

54. The compound 6-fluoro-5-hydroxy-2-methylindole or a salt thereof.

55. The compound 6-fluoro-5-hydroxyindole or a salt thereof.

56. A process for the preparation of 4-fluoro-5-hydroxy-2-methylindole comprising the steps of:

- (i) reacting 2-fluoro-4-nitroanisole with 4-chlorophenoxyacetonitrile to give a mixture of 4-fluoro-5-methoxyindole and 6-fluoro-5-methoxyindole;
- (ii) reacting 4-fluoro-5-methoxyindole and 6-fluoro-5-methoxyindole with di-*tert*-butyl dicarbonate to give a mixture of 4-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole and 6-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole;
- (iii) reacting 4-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole and 6-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole with *tert*-butyllithium followed by deprotection to give 6-fluoro-5-methoxy-2-methylindole and 4-fluoro-5-methoxy-2-methylindole; and
- (iv) de-alkylating 4-fluoro-5-methoxy-2-methylindole to give 4-fluoro-5-hydroxy-2-methylindole.

57. A process for the preparation of 4-fluoro-5-hydroxy-2-methylindole comprising the steps:

- (i) reacting alkyl or aryl acetoacetate and 1,2,3-trifluoro-4-nitrobenzene to give 3-acetymethyl-1,2-difluoro-4-nitrobenzene;
- (ii) reacting 3-acetymethyl-1,2-difluoro-4-nitrobenzene with an orthoformate to give 1,2-difluoro-3-(2,2-dimethoxypropyl)-4-nitrobenzene;
- (iii) reacting benzyl alcohol or substituted benzyl alcohol or ethanol or propanol with sodium hydride and 1,2-difluoro-3-(2,2-dimethoxypropyl)-4-nitrobenzene to give 3-acetymethyl-1-benzyloxy-2-fluoro-4-nitrobenzene; and
- (iv) hydrogenating 3-acetymethyl-1-benzyloxy-2-fluoro-4-nitrobenzene to give 4-fluoro-5-hydroxy-2-methylindole.

A22  
58. A process for the preparation of 4-fluoro-5-hydroxy-2-methylindole comprising the steps:

- (i) reacting alkyl or aryl acetoacetate and 1,2,3-trifluoro-4-nitrobenzene to give 3-acetymethyl-1,2-difluoro-4-nitrobenzene;
- (ii) reacting 3-acetymethyl-1,2-difluoro-4-nitrobenzene with an orthoformate to give 1,2-difluoro-3-(2,2-dimethoxypropyl)-4-nitrobenzene;
- (iii) reacting sodium methoxide with 1,2-difluoro-3-(2,2-dimethoxypropyl)-4-nitrobenzene to give 3-acetymethyl-2-fluoro-methoxy-4-nitrobenzene;
- (iv) reacting 3-acetymethyl-2-fluoro-1-methoxy-4-nitrobenzene with titanium trichloride to give 4-fluoro-5-methoxy-2-methylindole; and
- (v) dealkylating 4-fluoro-5-methoxy-2-methylindole to give 4-fluoro-5-hydroxy-2-methylindole.

59. A process for the preparation of 4-fluoro-5-hydroxyindole or 6-fluoro-5-hydroxyindole comprising the steps:

- (i) reacting 2-fluoro-4-nitrophenol and benzyl bromide to give 2-fluoro-4-nitrobenzyloxybenzene;

- (ii) reacting 2-fluoro-4-nitro-benzyloxybenzene with 4-chlorophenoxyacetonitrile to give a mixture of 3-cyanomethyl-2-fluoro-4-nitrobenzyloxybenzene and 5-cyanomethyl-2-fluoro-4-nitrobenzyloxybenzene; and
- (iii) hydrogenating a mixture of 3-cyanomethyl-2-fluoro-4-nitrobenzyloxybenzene and 5-cyanomethyl-2-fluoro-4-nitrobenzyloxybenzene to give 4-fluoro-5-hydroxyindole and 6-fluoro-5-hydroxyindole.

60. A process for the preparation of 6-fluoro-5-hydroxy-2-methylindole comprising the steps:

- (i) reacting 2-fluoro-4-nitroanisole with 4-chlorophenoxyacetonitrile to give a mixture of 4-fluoro-5-methoxyindole and 6-fluoro-5-methoxyindole;
- (ii) reacting 4-fluoro-5-methoxyindole and 6-fluoro-5-methoxyindole with di-*tert*-butyl dicarbonate to give a mixture of 4-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole and 6-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole;
- (iii) reacting 4-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole and 6-fluoro-5-methoxy-1-*tert*-butoxycarbonylindole with *tert*-butyllithium followed by deprotection to give 6-fluoro-5-methoxy-2-methylindole and 4-fluoro-5-methoxy-2-methylindole; and
- (iv) de-alkylating 6-fluoro-5-methoxy-2-methylindole to give 6-fluoro-5-hydroxy-2-methylindole.